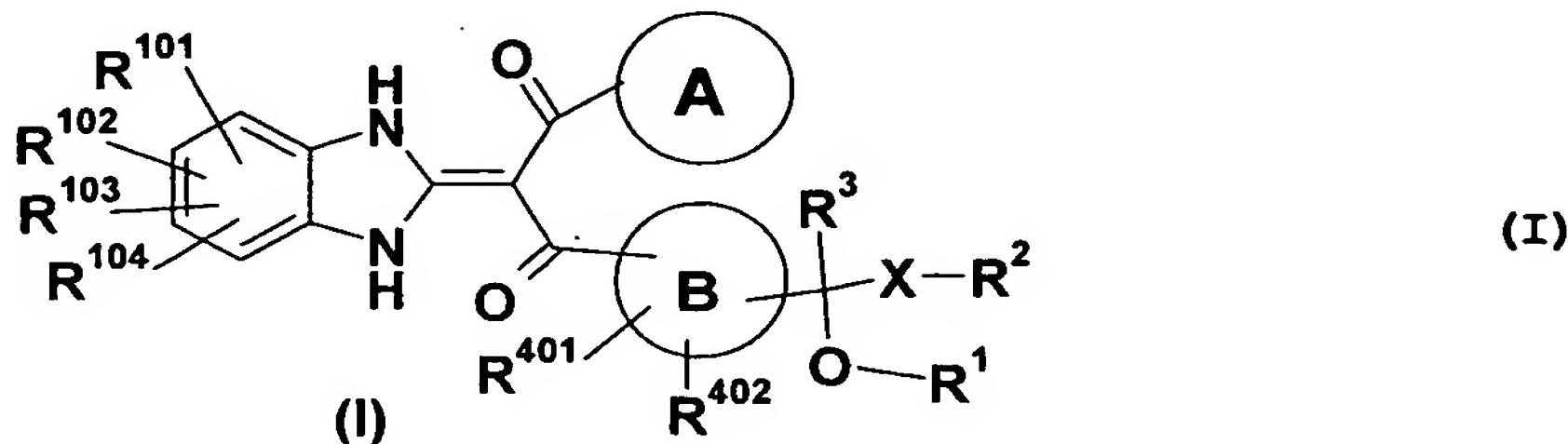


What is claimed is:

1. A propane-1,3-dione derivative represented by the general formula (I) or a pharmaceutically acceptable salt thereof



(I)

[symbols in the formula mean as follows,

10 ring A: benzene which may be substituted, pyridine which may be substituted or thiophene ring,

ring B: benzene or thiophene ring,

R¹: H or -CO-lower alkyl,

R²: H, -O-R⁵, -N(R⁶)R⁷, -N₃, -S(O)_m-lower alkyl, -S(O)_m-N(R⁶)R⁷,

15 halogen, pyridyl or imidazolyl which may be substituted,

R⁵: H, lower alkyl, -CO-lower alkyl which may be substituted, or -CO-O-lower alkyl which may be substituted,

R⁶ and R⁷: may be the same or different from each other and each is H, lower alkyl, or -CO-lower alkyl, with the proviso that R¹ and R² may together form dioxolane which may be substituted,

m: 0, 1 or 2,

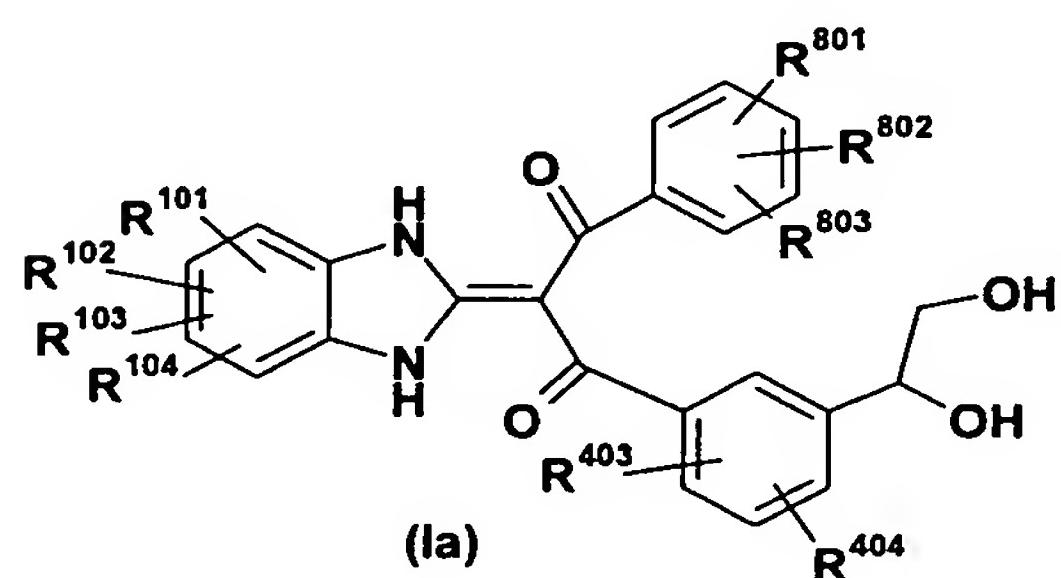
R³: H or lower alkyl,

- $\cdot R^{401}$ and R^{402} : may be the same or different from each other and each is H, halogen, OH, -O-lower alkyl, or lower alkyl,
X: bond, lower alkylene which may be substituted, or cycloalkanediyl,
- 5 R^{101} , R^{102} , R^{103} and R^{104} : may be the same or different from one another and each is H, halogen, OH, or -O-lower alkyl which may be substituted with (aryl or heteroaryl)].

2. The propane-1,3-dione derivative or a pharmaceutically acceptable salt thereof according to claim 1, wherein ring A is benzene ring which may be substituted with halogen atom or lower alkyl, ring B is benzene ring, R^1 is H, R^2 is OH, R^3 is H, and X is lower alkylene which may be substituted.

15 3. The propane-1,3-dione derivative or a pharmaceutically acceptable salt thereof according to claim 2, wherein X is methylene which may be substituted.

20 4. A propane-1,3-dione derivative represented by a general formula (Ia) or a pharmaceutically acceptable salt thereof



(symbols in the formula mean as follows,

R⁸⁰¹, R⁸⁰² and R⁸⁰³: may be the same or different from one another and each is halogen or lower alkyl,

R⁴⁰³ and R⁴⁰⁴: may be the same or different from each other and each is H, halogen or lower alkyl, and,

R¹⁰¹, R¹⁰², R¹⁰³ and R¹⁰⁴: may be the same or different from one another and each is H, halogen, OH, or lower alkyl which may be substituted with -O-(aryl or heteroaryl)).

10 5. The propane-1,3-dione derivative or a pharmaceutically acceptable salt thereof according to claim 4, or a pharmaceutically acceptable salt thereof, which is at least one compound selected from the group consisting of:

15 2-(1,3-Dihydro-2H-benzimidazol-2-ylidene)-1-[3-(1,2-dihydroxyethyl)phenyl]-3-(3,4,5-trifluorophenyl)propane-1,3-dione; 1-{2-butyl-3-[(1R)-1,2-dihydroxyethyl]phenyl}-2-(1,3-dihydro-2H-benzimidazol-2-ylidene)-3-(3-fluorophenyl)propane-1,3-dione; 1-(3,5-difluorophenyl)-2-(1,3-dihydro-2H-benzimidazol-2-ylidene)-3-[5-(1,2-dihydroxyethyl)-2-fluorophenyl]propane-1,3-dione; 1-(3,5-difluorophenyl)-2-(1,3-dihydro-2H-benzimidazol-2-ylidene)-3-[3-[(1R)-1,2-dihydroxyethyl]2-methylphenyl]propane-1,3-dione; 2-(1,3-dihydro-2H-benzimidazol-2-ylidene)-1-[3-[(1R)-1,2-dihydroxyethyl]-2-methylphenyl]-3-(2-fluorophenyl)propane-1,3-dione; 2-(1,3-dihydro-2H-benzimidazol-2-ylidene)-1-[3-(1,2-dihydroxyethyl)phenyl]-3-(2,3,5-trifluorophenyl)propane-1,3-dione; 2-(1,3-dihydro-2H-benzimidazol-2-ylidene)-1-[3-[(1R)-1,2-

20 dihydroxyethyl)phenyl]-3-(2,3,5-trifluorophenyl)propane-1,3-dione; 2-(1,3-dihydro-2H-benzimidazol-2-ylidene)-1-[3-[(1R)-1,2-dihydroxyethyl]-2-methylphenyl]-3-(2-fluorophenyl)propane-1,3-dione; 2-(1,3-dihydro-2H-benzimidazol-2-ylidene)-1-[3-[(1R)-1,2-dihydroxyethyl]-2-methylphenyl]-3-(2,3,5-trifluorophenyl)propane-1,3-dione; 2-(1,3-dihydro-2H-benzimidazol-2-ylidene)-1-[3-[(1R)-1,2-dihydroxyethyl]-2-methylphenyl]-3-(2,3,5-trifluorophenyl)propane-1,3-dione;

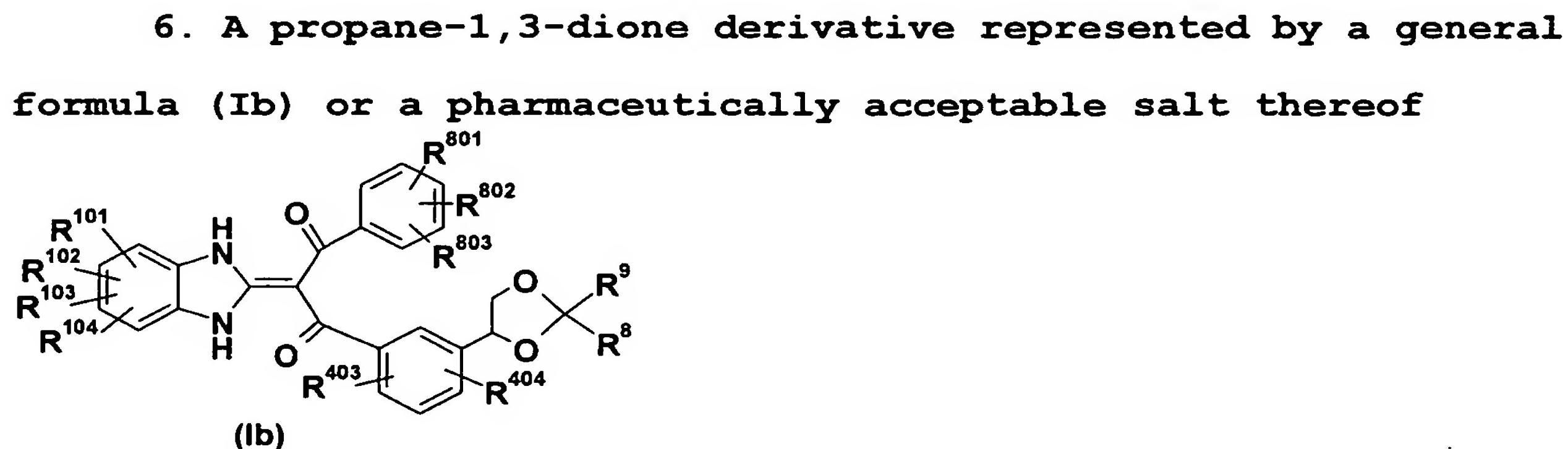
25 dihydroxyethyl)phenyl]-3-(2,3,5-trifluorophenyl)propane-1,3-dione; 2-(1,3-dihydro-2H-benzimidazol-2-ylidene)-1-[3-[(1R)-1,2-dihydroxyethyl]-2-methylphenyl]-3-(2,3,5-trifluorophenyl)propane-1,3-dione; 2-(1,3-dihydro-2H-benzimidazol-2-ylidene)-1-[3-[(1R)-1,2-dihydroxyethyl]-2-methylphenyl]-3-(2,3,5-trifluorophenyl)propane-1,3-dione;

dihydroxyethyl]-2-methylphenyl}-3-(3-methylphenyl)propane-1,3-dione; 1-{2-chloro-3-[(1R)-1,2-dihydroxyethyl]phenyl}-2-(1,3-dihydro-2H-benzimidazol-2-ylidene)-3-(3-fluorophenyl)propane-1,3-dione; 2-(1,3-dihydro-2H-benzimidazol-2-ylidene)-1-{3-[(1R)-1,2-dihydroxyethyl]phenyl}-3-(3-fluorophenyl)propane-1,3-dione;

5 1-(3,5-difluorophenyl)-2-(1,3-dihydro-2H-benzimidazol-2-ylidene)-3-[3-(1,2-dihydroxyethyl)-2-fluorophenyl]propane-1,3-dione; 1-(3,5-difluorophenyl)-2-(1,3-dihydro-2H-benzimidazol-2-ylidene)-3-[3-(1,2-dihydroxyethyl)-4-fluorophenyl]propane-1,3-dione; 1-{2-chloro-3-[(1R)-1,2-dihydroxyethyl]phenyl}-3-(3,5-difluorophenyl)-2-(1,3-dihydro-2H-benzimidazol-2-ylidene)propane-1,3-dione; 2-(1,3-dihydro-2H-benzimidazol-2-ylidene)-1-{3-[(1R)-1,2-dihydroxyethyl]-2-fluorophenyl}-3-(3-fluorophenyl)propane-1,3-dione; 1-{2-chloro-3-[(1R)-1,2-dihydroxyethyl]phenyl}-3-(3-chlorophenyl)-2-(1,3-dihydro-2H-benzimidazol-2-ylidene)propane-1,3-dione.

10

15



(symbols in the formula mean as follows,

R^8 and R^9 : may be the same or different from each other and each is H, lower alkyl, lower alkenyl or -O-lower alkyl,

R^{801} , R^{802} and R^{803} : may be the same or different from one another and each is halogen or lower alkyl,

R^{403} and R^{404} : may be the same or different from each other and each is H, halogen or lower alkyl, and,

5 R^{101} , R^{102} , R^{103} and R^{104} : may be the same or different from one another and each is H, halogen, OH, or lower alkyl which may be substituted with -O-(aryl or heteroaryl)).

7. The propane-1,3-dione derivative or a pharmaceutically acceptable salt thereof according to claim 6, wherein R^{801} , R^{802} and R^{803} may be the same or different from one another and each represents H or a halogen atom.

15 8. The propane-1,3-dione derivative or a pharmaceutically acceptable salt thereof according to claim 7, or a pharmaceutically acceptable salt thereof, which is at least one compound selected from the group consisting of:

20 2-(1,3-Dihydro-2H-benzimidazol-2-ylidene)-1-(3-fluorophenyl)-3-[3-(2-methoxy-1,3-dioxolan-4-yl)phenyl]propane-1,3-dione; 2-(1,3-dihydro-2H-benzimidazol-2-ylidene)-1-(3-fluorophenyl)-3-[3-(2-methoxy-2-methyl-1,3-dioxolan-4-yl)phenyl]propane-1,3-dione or a pharmaceutically acceptable salt thereof.

25 9. A pharmaceutical composition comprising as an active ingredient a propane-1,3-dione compound represented by the general formula (I) or a pharmaceutically acceptable salt

thereof as claimed in claim 1, and a pharmaceutically acceptable carrier.

10. The pharmaceutical composition as claimed in claim
5 9, which is a GnRH receptor antagonist.

11. The pharmaceutical composition as claimed in claim
10, which is the GnRH receptor antagonist for treating prostate
cancer, breast cancer, endometriosis, uterine leiomyoma, or
10 benign prostatic hypertrophy.